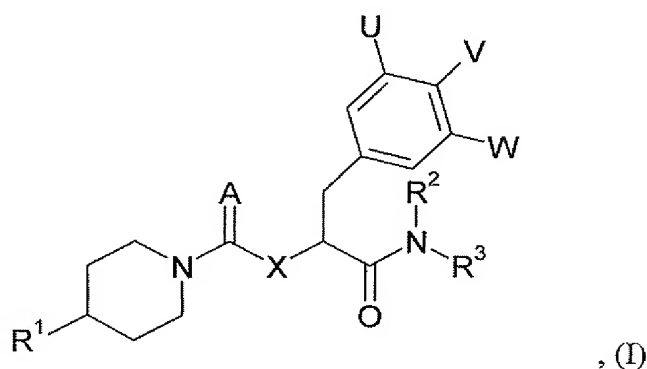


**Amendments to the Claims:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

Claim 1 (currently amended): A compound of the formula



wherein

A denotes an oxygen or sulphur atom, a phenylsulphonylimino or cyanimino group,

X denotes an oxygen or sulphur atom, an imino group optionally substituted by a C<sub>1-6</sub>-alkyl group or a methylene group optionally substituted by a C<sub>1-6</sub>-alkyl group,

U denotes a C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl or C<sub>2-6</sub>-alkynyl group wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms trifluoromethyl or pentafluoroethyl group,

V denotes a chlorine or bromine atom, an amino, methylamine or hydroxy group,

W denotes a hydrogen, fluorine, chlorine, or bromine or iodine atom, a difluoro- or

trifluoromethyl group,

R<sup>1</sup> denotes a saturated, mono- or diunsaturated 5- to 7-membered aza, diaza, triaza, oxaza, thiaza, thiadiazia or S,S-dioxido-thiadiazia heterocyclic group,

in which the abovementioned heterocycles are linked via a carbon or nitrogen atom,

contain one or two carbonyl or thiocarbonyl groups adjacent to a nitrogen atom,

may be substituted at one of the nitrogen atoms by an alkyl group,

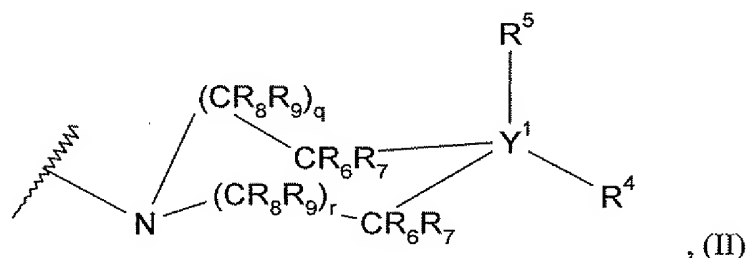
may be substituted at one or at two carbon atoms by an alkyl group, by a phenyl, phenylmethyl, naphthyl, biphenyl, pyridinyl, diazinyl, furyl, thienyl, pyrrolyl, 1,3-oxazolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl, 1-methylpyrazolyl, imidazolyl or 1-methylimidazolyl group, while the substituents may be identical or different, and

while an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, naphthyl, pyridine, diazine, 1,3-oxazole, thienyl, furan, thiazole, pyrrole, N-methylpyrrole or quinoline ring, to a 1H-quinolin-2-one ring optionally substituted at the nitrogen atom by an alkyl group or to an imidazole or N-methylimidazole ring or also two olefinic double bonds of one of the abovementioned unsaturated heterocycles may each be fused to a phenyl ring,

while the phenyl, pyridinyl, diazinyl, furyl, thienyl, pyrrolyl, 1,3-oxazolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl, 1-methylpyrazolyl, imidazolyl or 1-methylimidazolyl groups contained in R<sup>1</sup> as well as benzo-, thieno-, pyrido- and diazino-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, nitro, alkylthio, alkylsulphinyl, alkylsulphonyl, alkylsulphonylamino, phenyl, difluoromethyl, trifluoromethyl, alkoxycarbonyl, carboxy, hydroxy, amino, alkyl-amino, dialkylamino, acetyl, acetylamino, propionylamino, aminocarbonyl,

alkylaminocarbonyl, dialkylaminocarbonyl, (4-morpholinyl)carbonyl, (1-pyrrolidinyl)carbonyl, (1-piperidinyl)carbonyl, (hexahydro-1-azepinyl)carbonyl, (4-methyl-1-piperazinyl)carbonyl, methylenedioxy, aminocarbonylamino, alkanoyl, cyano, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphinyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

$R^2$  and  $R^3$  together with the enclosed nitrogen atom denote a group of general formula



wherein

$Y^1$  denotes the carbon atom or, if  $R^5$  is a pair of free electrons, it may also denote the nitrogen atom,

$q$  and  $r$ , if  $Y^1$  denotes the carbon atom, represent the numbers 0, 1 or 2, or

$q$  and  $r$ , if  $Y^1$  denotes the nitrogen atom, represent the numbers 1 or 2,

$R^4$  denotes the hydrogen atom, an amino, alkylamino, cycloalkylamino, dialkylamino, N-(cycloalkyl)-alkylamino, dicycloalkylamino, hydroxy, alkyl, cycloalkyl, amino-C<sub>2-7</sub>-alkyl, alkylamino-C<sub>2-7</sub>-alkyl, dialkylamino-C<sub>2-7</sub>-alkyl, aminoiminomethyl, alkylcarbonyl, alkylsulphonyl, alkylcarbonylamino, alkylsulphonylamino, N-alkylcarbonyl-N-alkylamino, N-alkylsulphonyl-N-alkylamino, aminocarbonylamino, alkylaminocarbonylamino, dialkylaminocarbonylamino, cycloalkylaminocarbonylamino, dicycloalkylaminocarbonylamino, phenylaminocarbonylamino, aminocarbonylalkyl,

alkylaminocarbonylalkyl, dialkylaminocarbonylalkyl, aminocarbonylaminoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl or carboxyalkyl group,

or, if Y<sup>1</sup> does not denote the nitrogen atom, the carboxy, aminomethyl, alkylaminomethyl or dialkylaminomethyl group,

a phenyl, phenyl-C<sub>1-3</sub>-alkyl, pyridinyl, diazinyl, 1-naphthyl, 2-naphthyl, pyridinylcarbonyl or phenylcarbonyl group which may each be mono-, di- or trisubstituted in the carbon skeleton by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, methylsulphonyloxy, difluoromethyl, trifluoromethyl, hydroxy, amino, acetylamino, aminocarbonyl, aminocarbonylamino, aminocarbonylaminomethyl, cyano, carboxy, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyl, ω-(dialkylamino)alkanoyl, ω-(dialkylamino)alkyl, ω-(dialkylamino)hydroxyalkyl, ω-(carboxy)alkanoyl, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphanyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

a saturated or mono- or polyunsaturated 4- to 10-membered azacycloalkyl group, a 5- to 10-membered oxaza-, thiaza-, diaza- or triazacycloalkyl group, a 6- to 10-membered azabicyclo- or diazabicycloalkyl group, a 1-alkyl-4-piperidinylcarbonyl or 4-alkyl-1-piperazinylcarbonyl, a 1-alkyl-4-piperidinylamino, 1-alkyl-4-piperidinylaminocarbonyl or 1-alkyl-4-piperidinylaminosulphonyl group,

while the abovementioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

a methylene group in the abovementioned mono- and bicyclic heterocycles may be replaced by a carbonyl or sulphonyl group,

in the abovementioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or

two fluorine atoms,

the abovementioned mono- and bicyclic heterocycles as well as the 1-alkyl-4-piperidinylcarbonyl- and 4-alkyl-1-piperazinylcarbonyl group in the ring may be mono- or polysubstituted by a C<sub>1-7</sub>-alkyl group and/or

monosubstituted by a benzyl, alkanoyl, dialkylamino, phenylcarbonyl, pyridinylcarbonyl, carboxy, carboxyalkanoyl, carboxyalkyl, alkoxycarbonylalkyl, alkoxycarbonyl, aminocarbonyl, alkylaminocarbonyl, alkylsulphonyl, cycloalkyl or cycloalkylalkyl group, or substituted by a cycloalkylcarbonyl, azacycloalkylcarbonyl, diazacycloalkylcarbonyl or oxazacycloalkylcarbonyl group optionally alkyl-substituted in the ring,

while the alicyclic moieties contained in these substituents each comprise 3 to 10 ring members and the heteroalicyclic moieties each comprise 4 to 10 ring members and

the phenyl and pyridinyl groups contained in the abovementioned groups may in turn be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl, alkoxy, methylsulphonyloxy, difluoromethyl, trifluoromethyl, hydroxy, amino, acetylamino, aminocarbonyl, aminocarbonylamino, aminocarbonylaminomethyl, cyano, carboxy, alkoxycarbonyl, carboxyalkyl, alkoxycarbonylalkyl, alkanoyl,  $\omega$ -(dialkylamino)alkanoyl,  $\omega$ -(carboxy)alkanoyl, difluoromethoxy, trifluoromethoxy, trifluoromethylthio, trifluoromethylsulphonyl or trifluoromethylsulphonyl groups, while the substituents may be identical or different,

R<sup>5</sup> denotes a hydrogen atom,

a C<sub>1-4</sub>-alkyl group, while an unbranched alkyl group may be substituted in the  $\omega$  position by a phenyl, pyridinyl, diazinyl, amino, alkylamino, dialkylamino,

1-pyrrolidinyl, 1-piperidinyl, 4-methyl-1-piperazinyl, 4-morpholinyl or hexahydro-1H-1-azepinyl group,

an alkoxycarbonyl, the cyano or aminocarbonyl group or also, if Y<sup>1</sup> denotes a nitrogen atom, a pair of free electrons,

or, if Y<sup>1</sup> does not denote a nitrogen atom, also the fluorine atom, or

R<sup>4</sup> and R<sup>5</sup> together, if Y<sup>1</sup> denotes the carbon atom, denote a 4- to 7-membered cycloaliphatic ring in which one or two methylene groups may be replaced by an -NH- or -N(alkyl)- group and one or two additional methylene groups may be replaced by carbonyl groups,

while a hydrogen atom bound to a nitrogen atom within the abovementioned group R<sup>4</sup> may be replaced by a protecting group,

R<sup>6</sup> and R<sup>7</sup>, which may be identical or different, in each case denote a hydrogen atom, a C<sub>1-3</sub>-alkyl or dialkylamino group or also, if Y<sup>1</sup> does not denote a nitrogen atom, the fluorine atom and

R<sup>8</sup> and R<sup>9</sup>, which may be identical or different, each denote a hydrogen atom or a C<sub>1-3</sub>-alkyl, carboxy or C<sub>1-3</sub>-alkoxycarbonyl group,

while, unless otherwise stated, all the abovementioned alkyl and alkoxy groups as well as the alkyl groups present within the other groups specified comprise 1 to 7 carbon atoms and may be straight-chain or branched, while each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms,

all the abovementioned cycloalkyl groups as well as the cycloalkyl groups present within the other groups specified, unless otherwise stated, may comprise 3 to 10 carbon atoms, while each methylene group may be substituted by up to 2 fluorine atoms,

all the abovementioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups and the substituents may be identical or different,

or a tautomer, diastereomer, enantiomer or hydrate thereof, or a salt thereof or a hydrate of a salt thereof.

Claim 2 (previously presented):      A compound of the formula (I) according to claim 1, wherein

A, U, V, W, X, R<sup>2</sup> and R<sup>3</sup> are defined as in claim 1 and

R<sup>1</sup> denotes a mono- or diunsaturated 5- to 7-membered aza, diaza, triaza or thiaza heterocyclic group,

in which the abovementioned heterocycles are linked via a carbon or nitrogen atom,

contain one or two carbonyl groups adjacent to a nitrogen atom,

may be substituted at a carbon atom by a phenyl, pyridinyl, diazinyl, thienyl, pyrrolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl or 1-methylpyrazolyl group and

an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, naphthyl, pyridine, diazine, thienyl or quinoline ring or to a 1H-quinolin-2-one ring optionally substituted at the nitrogen atom by a methyl group,

while the phenyl, pyridinyl, diazinyl, thienyl, pyrrolyl, 1,3-thiazolyl, isoxazolyl, pyrazolyl or 1-methylpyrazolyl groups contained in R<sup>1</sup> as well as the benzo-, pyrido- and diazino-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by alkyl,

alkoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different,

while the abovementioned alkyl groups or the alkyl groups contained in the abovementioned groups, unless otherwise stated, contain 1 to 7 carbon atoms and may be branched or unbranched, while each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms, and

the abovementioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

or a tautomer, diastereomer, enantiomer or salt thereof.

Claim 3 (previously presented): A compound of the formula (I) according to claim 1, wherein

A, U, V, W, X, R<sup>2</sup> and R<sup>3</sup> are defined as in claim 1 and

R<sup>1</sup> denotes a monounsaturated 5- to 7-membered diaza or triaza heterocyclic group,

while the abovementioned heterocycles are linked via a nitrogen atom,

contain a carbonyl group adjacent to a nitrogen atom and

may additionally be substituted at a carbon atom by a phenyl group,

and while an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, thienyl or quinoline ring,



while the phenyl groups contained in  $R^1$  as well as benzo-fused heterocycles in the carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by methyl, methoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different, but are preferably unsubstituted, or monosubstituted by a fluorine, chlorine or bromine atom or by a methyl or methoxy group,

while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono- di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

or a tautomer, diastereomer, enantiomer or salt thereof.

Claim 4 (previously presented):      A compound of the formula (I) according to claim 1, wherein

A, U, V, W, X,  $R^2$  and  $R^3$  are defined as in claim 1 and

$R^1$  denotes a 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl, 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-imidazo[4,5-c]quinolin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-4H-thieno[3,4-d]pyrimidin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2H-thieno[3,2-d]pyrimidin-3-yl)-piperidin-1-yl, 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diazazulen-6-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-d]-1,3-diazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-d]-1,3-diazepin-3-yl)-piperidin-1-yl or 4-(2-oxo-1,4-dihydro-2H-thieno[2,3-d]pyrimidin-3-yl)-piperidin-1-yl group,

while the abovementioned mono- and bicyclic heterocycles in the carbon skeleton may

additionally be monosubstituted by a methoxy group,

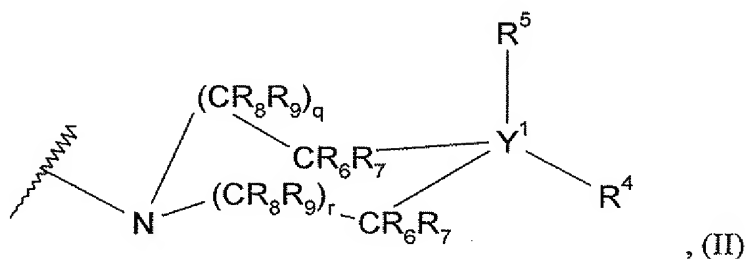
while the abovementioned aromatic and heteroaromatic groups by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups may additionally be mono- di- or trisubstituted and the substituents may be identical or different,

or a tautomer, diastereomer, enantiomer or salt thereof.

Claim 5 (previously presented): A compound of the formula (I) according to claim 1, wherein

A, U, V, W, X and  $R^1$  are defined as in claim 1 and

$R^2$  and  $R^3$  together with the enclosed nitrogen atom denote a group of general formula



wherein

$Y^1$  denotes the carbon atom or, if  $R^5$  denotes a pair of free electrons, it may also denote the nitrogen atom,

q and r, if  $Y^1$  denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if  $Y^1$  denotes the nitrogen atom, represent the numbers 1 or 2,

$R^4$  denotes the hydrogen atom, a hydroxy, amino, alkylamino,  $C_{3-6}$ -cycloalkylamino,

N-(C<sub>3-6</sub>-cycloalkyl)-alkylamino or dialkylamino, an alkyl, trifluoromethyl, C<sub>3-6</sub>-cycloalkyl, dialkylamino-C<sub>2-7</sub>-alkyl, carboxyalkyl, alkoxycarbonylalkyl, alkylsulphonyl, alkylsulphonylamino or N-(alkylsulphonyl)-alkylamino group,

or, if Y<sup>1</sup> does not denote the nitrogen atom, it denotes the carboxy or dialkylaminomethyl group,

a phenyl, phenyl-C<sub>1-3</sub>-alkyl, pyridinyl or diazinyl group each of which may be substituted by a fluorine, chlorine or bromine atom or by a trifluoromethylcarbonyl, methyl or methoxy group,

a saturated or mono- or polyunsaturated 4- to 7-membered azacycloalkyl group, a 5- to 7-membered oxaza-, diaza or triazacycloalkyl group, a 7- to 9-membered azabicyclo or diazabicycloalkyl group, a 1-alkyl-4-piperidinylamino or 1-alkyl-4-piperidinylaminosulphonyl group,

while the abovementioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

a methylene group of the abovementioned mono- and bicyclic heterocycles may be replaced by a carbonyl or sulphonyl group,

in the abovementioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms,

the abovementioned mono- and bicyclic heterocycles may be substituted by one or two C<sub>1-3</sub>-alkyl groups wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms, and/or

by a C<sub>3-6</sub>-cycloalkyl-C<sub>1-3</sub>-alkyl, benzyl, C<sub>1-4</sub>-alkanoyl, di-(C<sub>1-3</sub>-alkyl)-amino or C<sub>1-3</sub>-alkylsulphonyl, by an alkoxycarbonyl, benzyloxycarbonyl, alkoxycarbonylalkyl, carboxy or carboxyalkyl group,

R<sup>5</sup> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl or alkoxycarbonyl group or,

if Y<sup>1</sup> denotes a nitrogen atom, it may also denote a pair of free electrons, or

R<sup>4</sup> and R<sup>5</sup> together, if Y<sup>1</sup> denotes the carbon atom, represent a 5- to 6-membered cycloaliphatic ring in which one or two methylene groups may be replaced by a -NH- or -N(methyl)- group and one or two further methylene groups may be replaced by carbonyl groups,

R<sup>6</sup> and R<sup>7</sup>, which may be identical or different, in each case denote a hydrogen atom or a C<sub>1-3</sub>-alkyl or di-(C<sub>1-3</sub>-alkyl)-amino group and

R<sup>8</sup> and R<sup>9</sup>, which may be identical or different, in each case denote a hydrogen atom or a C<sub>1-3</sub>-alkyl, carboxy or C<sub>1-3</sub>-alkoxycarbonyl group,

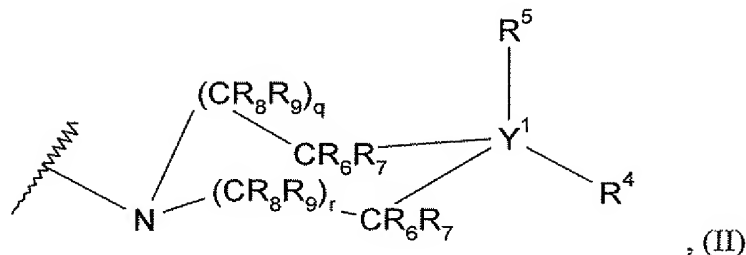
while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

or a tautomer, diastereomer, enantiomer or salt thereof.

Claim 6 (previously presented):      A compound of the formula (I) according to claim 1, wherein

A, U, V, W, X and R<sup>1</sup> are defined as in claim 1 and

$R^2$  and  $R^3$  together with the enclosed nitrogen atom denote a group of general formula



wherein

$Y^1$  denotes the carbon atom or, if  $R^5$  denotes a pair of free electrons, it may also denote the nitrogen atom,

$q$  and  $r$ , if  $Y^1$  denotes the carbon atom, represent the numbers 0 or 1 or

$q$  and  $r$ , if  $Y^1$  denotes the nitrogen atom, represent the numbers 1 or 2,

$R^4$  denotes the hydrogen atom,

a phenyl, benzyl or pyridinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

a hydroxy, carboxy, methyl, trifluoromethyl, n-propyl, phenyl, p-tolyl, p-trifluoromethylcarbonyl-phenyl, p-(3-dimethylaminopropyl)-phenyl, amino, benzyl, tert-butylamino, dimethylamino, diethylamino, diethylaminomethyl, 2-dimethylaminoethyl, 2-diethylaminoethyl, 5-aminopentyl, methoxycarbonyl, methoxycarbonylmethyl, perhydro-azepin-1-yl, 4-methyl-perhydro-1,4-diazepin-1-yl, 1-methyl-1-piperidinyl-4-yl, 4-piperazin-1-yl, 4-acetyl-piperazin-1-yl, 4-cyclopropylmethyl-piperazin-1-yl, pyrrolidin-1-yl, 4-ethyl-piperazin-1-yl, 4-isopropyl-piperazin-1-yl, piperidin-1-yl, piperidin-4-yl, morpholin-4-yl, 4,4-difluoro-1-piperidin-1-

yl, 1-methyl-1-aza-bicyclo[3.2.1]oct-4-yl, 4-methyl-piperazin-1-yl, 4-ethylpiperazin-1-yl, 1-methyl-piperidin-1-yl, 4-carboxymethyl-piperazin-1-yl, 1-carboxymethyl-piperidin-4-yl, 4-benzyloxycarbonyl-piperazin-1-yl, 1-ethoxycarbonylmethyl-piperidin-4-yl, azetidin-1-yl, 5-methyl-2,5-diaza-bicyclo[2.2.1]hept-2-yl, 1-benzyl-piperidin-4-yl, 4-benzyl-piperazin-1-yl, 4-dimethylaminomethyl-1-phenyl, 2,2,2-trifluoroethyl-piperazin-1-yl, 1-methylsulphonyl-piperidin-4-yl, piperidin-1-yl-methyl, 1-methyl-piperidin-4-yl-amino, methylsulphonylamino, N-methylsulphonyl-N-methylamino, N-(cyclopentyl)-methylamino, 1,1-dioxo- $\lambda^6$ -isothiazolidin-2-yl, 2-oxo-perhydro-1,3-oxazin-3-yl, cyclohexyl, 2-oxo-imidazolidin-1-yl, 2-methyl-imidazol-1-yl, 4-methyl-imidazol-1-yl, 4-thiazol-2-yl, 2,4-dimethyl-imidazol-1-yl, 4-imidazol-1-yl, 1,2,4-triazol-1-yl, 1-aza-bicyclo[2.2.2]oct-3-yl, 1-methyl-piperidin-4-yl-methylsulphonyl, 1H-imidazol-4-yl, 4-ethoxycarbonylmethyl-piperazin-1-yl, 1-ethoxycarbonyl-piperidin-4-yl, 4-tert-butoxycarbonylmethyl-piperazin-1-yl, 1-(2,2,2-trifluoroethyl)-piperidin-4-yl, 4-methylsulphonyl-piperazin-1-yl, 2-carboxy-4-methyl-piperazin-1-yl, 3-carboxy-4-methyl-piperazin-1-yl, 2-ethoxycarbonyl-4-methyl-piperazin-1-yl, 3-ethoxycarbonyl-4-methyl-piperazin-1-yl or 4-(2,2,2-trifluoroethyl)-piperazin-1-yl- group,

R<sup>5</sup> denotes a hydrogen atom, a methyl group or, if Y<sup>1</sup> denotes a nitrogen atom, it may also denote a pair of free electrons, or

R<sup>4</sup> and R<sup>5</sup> together, if Y<sup>1</sup> denotes the carbon atom, denote a 1-methyl-piperidin-4-ylidene, cyclohexylidene or imidazolidin-2,4-dion-5-ylidene group,

R<sup>6</sup> and R<sup>7</sup> in each case denote a hydrogen atom or a dimethylamino group and

R<sup>8</sup> and R<sup>9</sup> in each case denote the hydrogen atom, a carboxy or ethoxycarbonyl group,

while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano

or hydroxy groups and the substituents may be identical or different,

or a tautomer, diastereomer, enantiomer or salt thereof.

Claim 7 (currently amended): A compound of the formula (I) according to claim 1, wherein

A denotes an oxygen atom, ~~a cyanoimino or phenylsulphonylimino group,~~

X denotes an oxygen atom, an imino or methylene group,

U denotes ~~an unbranched C<sub>1-6</sub>-alkyl, C<sub>2-6</sub>-alkenyl or C<sub>2-6</sub>-alkynyl group wherein each methylene group may be substituted by up to 2 fluorine atoms and the methyl group may be substituted by up to 3 fluorine atoms~~ a trifluoromethyl or pentafluoroethyl group,

V denotes an amino or hydroxy group and

W denotes a hydrogen, chlorine or bromine atom or a trifluoromethyl group,

R<sup>1</sup> denotes a monounsaturated 5- to 7-membered diaza- or triaza- heterocyclic group,

while the abovementioned heterocycles are linked via a nitrogen atom,

contain a carbonyl group adjacent to a nitrogen atom,

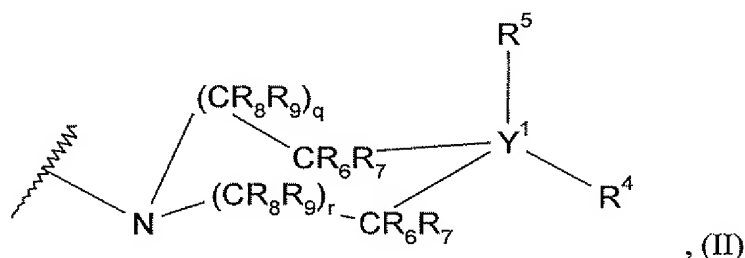
may additionally be substituted at a carbon atom by a phenyl group and

an olefinic double bond of one of the abovementioned unsaturated heterocycles may be fused to a phenyl, thienyl or quinoline ring,

while the phenyl groups contained in R<sup>1</sup> as well as benzo-fused heterocycles in the

carbon skeleton may additionally be mono-, di- or trisubstituted by fluorine, chlorine, bromine or iodine atoms, by methyl, methoxy, nitro, difluoromethyl, trifluoromethyl, hydroxy, amino, alkylamino, dialkylamino, acetylamino, acetyl, cyano, difluoromethoxy or trifluoromethoxy groups, while the substituents may be identical or different, but are preferably unsubstituted or are monosubstituted by a fluorine, chlorine or bromine atom or by a methyl or methoxy group,

$R^2$  and  $R^3$  together with the enclosed nitrogen atom denote a group of general formula



wherein

$Y^1$  denotes the carbon atom or, if  $R^5$  denotes a pair of free electrons, it may also denote the nitrogen atom,

$q$  and  $r$ , if  $Y^1$  denotes the carbon atom, represent the numbers 0 or 1 or

$q$  and  $r$ , if  $Y^1$  denotes the nitrogen atom, represent the numbers 1 or 2,

$R^4$  denotes the hydrogen atom, a hydroxy, amino, alkylamino,  $C_{3-6}$ -cycloalkylamino, N-( $C_{3-6}$ -cycloalkyl)-alkylamino or dialkylamino, an alkyl, trifluoromethyl,  $C_{3-6}$ -cycloalkyl, dialkylamino- $C_{2-7}$ -alkyl, carboxyalkyl, alkoxy carbonylalkyl, alkylsulphonyl, alkylsulphonylamino or N-(alkylsulphonyl)-alkylamino group,

or, if  $Y^1$  does not denote the nitrogen atom, it denotes the carboxy or dialkylaminomethyl group,



a phenyl, phenyl-C<sub>1-3</sub>-alkyl, pyridinyl or diazinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

a saturated or mono- or polyunsaturated 4- to 7-membered azacycloalkyl group, a 5- to 7-membered oxaza-, diaza- or triazacycloalkyl group, a 7- to 9-membered azabicyclo- or diazabicycloalkyl group, a 1-alkyl-4-piperidinylamino or 1-alkyl-4-piperidinylaminosulphonyl group,

while the abovementioned mono- and bicyclic heterocycles are bound via a nitrogen or carbon atom,

a methylene group of the abovementioned mono- and bicyclic heterocycles may be replaced by a carbonyl or sulphonyl group,

in the abovementioned mono- and bicyclic heterocycles any methylene group not directly bound to a nitrogen, oxygen or sulphur atom may be substituted by one or two fluorine atoms,

the abovementioned mono- and bicyclic heterocycles may be substituted by one or two C<sub>1-3</sub>-alkyl groups, wherein each methylene group may be substituted by up to 2 fluorine atoms and each methyl group may be substituted by up to 3 fluorine atoms, and/or

may be substituted by a C<sub>3-6</sub>-cycloalkyl-C<sub>1-3</sub>-alkyl, benzyl, C<sub>1-4</sub>-alkanoyl, di-(C<sub>1-3</sub>-alkyl)-amino or C<sub>1-3</sub>-alkylsulphonyl, by an alkoxycarbonyl, benzyloxycarbonyl, alkoxycarbonylalkyl, carboxy or carboxyalkyl group,

R<sup>5</sup> denotes a hydrogen atom, a C<sub>1-3</sub>-alkyl or alkoxycarbonyl group or,

if  $Y^1$  denotes a nitrogen atom, it may also denote a pair of free electrons, or

$R^4$  and  $R^5$  together, if  $Y^1$  denotes the carbon atom, denote a 5- to 6-membered cycloaliphatic ring wherein one or two methylene groups may be replaced by a  $-NH-$  or  $-N(\text{methyl})-$  group and one or two further methylene groups may be replaced by one or two carbonyl groups,

$R^6$  and  $R^7$ , which may be identical or different, in each case denote the hydrogen atom or a  $C_{1-3}$ -alkyl or di- $(C_{1-3}$ -alkyl)-amino group and

$R^8$  and  $R^9$ , which may be identical or different, in each case denote the hydrogen atom or a  $C_{1-3}$ -alkyl, carboxy or  $C_{1-3}$ -alkoxycarbonyl group,

while, unless otherwise stated, the abovementioned alkyl groups or the alkyl groups contained in the abovementioned groups contain 1 to 7 carbon atoms and may be branched or unbranched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms, by cyano or hydroxy groups and the substituents may be identical or different

or a tautomer, diastereomer, enantiomer or salt thereof.

Claim 8 (currently amended). A compound of the formula (I) according to claim 1, wherein

A denotes an oxygen atom,

X denotes an oxygen atom, an imino or methylene group,

U denotes ~~a methyl, ethyl,  $C_{2-4}$ -alkenyl or  $C_{2-4}$ -alkynyl group wherein the methylene group may be substituted by up to 2 fluorine atoms and the methyl group may be substituted by up to 3 fluorine atoms~~ a trifluoromethyl or pentafluoroethyl group,

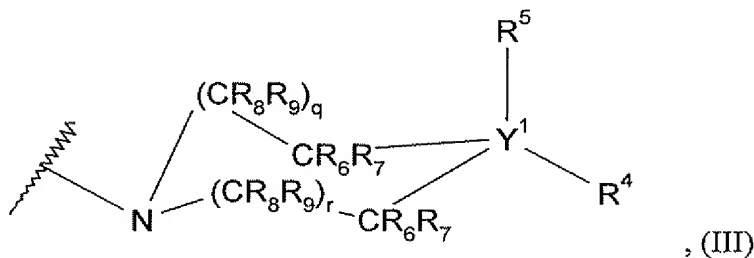
V denotes an amino or hydroxy group,

W denotes a hydrogen, chlorine or bromine atom or a trifluoromethyl group,

$R^1$  denotes a 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl, 4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-imidazo[4,5-c]quinolin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2-dihydro-4H-thieno[3,4-d]pyrimidin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,4-dihydro-2H-thieno[3,2-d]pyrimidin-3-yl)-piperidin-1-yl, 4-(5-oxo-4,5,7,8-tetrahydro-2-thia-4,6-diazazulen-6-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[3,2-d]-1,3-diazepin-3-yl)-piperidin-1-yl, 4-(2-oxo-1,2,4,5-tetrahydro-thieno[2,3-d]-1,3-diazepin-3-yl)-piperidin-1-yl or 4-(2-oxo-1,4-dihydro-2H-thieno[2,3-d]pyrimidin-3-yl)-piperidin-1-yl group,

while the abovementioned mono- and bicyclic heterocycles in the carbon skeleton may additionally be monosubstituted by a methoxy group,

$R^2$  and  $R^3$  together with the enclosed nitrogen atom denote a group of general formula



wherein

$Y^1$  represents the carbon atom or, if  $R^5$  denotes a pair of free electrons, it may also denote the nitrogen atom,

q and r, if  $Y^1$  denotes the carbon atom, represent the numbers 0 or 1 or

q and r, if Y<sup>1</sup> denotes the nitrogen atom, represent the numbers 1 or 2,

R<sup>4</sup> denotes the hydrogen atom,

a phenyl, benzyl or pyridinyl group which may be substituted in each case by a fluorine, chlorine or bromine atom, by a trifluoromethylcarbonyl, methyl or methoxy group,

a hydroxy, carboxy, methyl, trifluoromethyl, n-propyl, phenyl, p-tolyl, p-trifluoromethylcarbonyl-phenyl, p-(3-dimethylaminopropyl)-phenyl, amino, benzyl, tert-butylamino, dimethylamino, diethylamino, diethylaminomethyl, 2-dimethylaminoethyl, 2-diethylaminoethyl, 5-aminopentyl, methoxycarbonyl, methoxycarbonylmethyl, perhydro-azepin-1-yl, 4-methyl-perhydro-1,4-diazepin-1-yl, 1-methyl-1-piperidinyl-4-yl, 4-piperazin-1-yl, 4-acetyl-piperazin-1-yl, 4-cyclopropylmethyl-piperazin-1-yl, pyrrolidin-1-yl, 4-ethyl-piperazin-1-yl, 4-isopropyl-piperazin-1-yl, piperidin-1-yl, piperidin-4-yl, morpholin-4-yl, 4,4-difluoro-1-piperidin-1-yl, 1-methyl-1-aza-bicyclo[3.2.1]oct-4-yl, 4-methyl-piperazin-1-yl, 4-ethylpiperazin-1-yl, 1-methyl-piperidin-1-yl, 4-carboxymethyl-piperazin-1-yl, 1-carboxymethyl-piperidin-4-yl, 4-benzyloxycarbonyl-piperazin-1-yl, 1-ethoxycarbonylmethyl-piperidin-4-yl, azetidin-1-yl, 5-methyl-2,5-diaza-bicyclo[2.2.1]hept-2-yl, 1-benzyl-piperidin-4-yl, 4-benzyl-piperazin-1-yl, 4-dimethylaminomethyl-1-phenyl, 2,2,2-trifluoroethyl-piperazin-1-yl, 1-methylsulphonyl-piperidin-4-yl, piperidin-1-yl-methyl, 1-methyl-piperidin-4-yl-amino, methylsulphonylamino, N-methylsulphonyl-N-methylamino, N-(cyclopentyl)-methylamino, 1,1-dioxo- $\lambda^6$ -isothiazolidin-2-yl, 2-oxo-perhydro-1,3-oxazin-3-yl, cyclohexyl, 2-oxo-imidazolidin-1-yl, 2-methyl-imidazol-1-yl, 4-methyl-imidazol-1-yl, 4-thiazol-2-yl, 2,4-dimethyl-imidazol-1-yl, 4-imidazol-1-yl, 1,2,4-triazol-1-yl, 1-aza-bicyclo[2.2.2]oct-3-yl, 1-methyl-piperidin-4-yl-methylsulphonyl, 1H-imidazol-4-yl, 4-ethoxycarbonylmethyl-piperazin-1-yl, 1-ethoxycarbonyl-piperidin-4-yl, 4-tert-butoxycarbonylmethyl-piperazin-1-yl, 1-(2,2,2-trifluoroethyl)-piperidin-4-yl, 4-methylsulphonyl-piperazin-1-yl, 2-carboxy-4-methyl-piperazin-1-yl, 3-carboxy-4-methyl-piperazin-1-yl, 2-ethoxycarbonyl-4-methyl-piperazin-1-yl, 3-ethoxycarbonyl-4-methyl-piperazin-1-yl or 4-(2,2,2-trifluoroethyl)-piperazin-1-yl group,

R<sup>5</sup> denotes a hydrogen atom, a methyl group or, if Y<sup>1</sup> denotes a nitrogen atom, it may also denote a pair of free electrons, or

R<sup>4</sup> and R<sup>5</sup> together, if Y<sup>1</sup> denotes the carbon atom, denote a 1-methyl-piperidin-4-ylidene, cyclohexylidene or imidazolidin-2,4-dion-5-ylidene group,

R<sup>6</sup> and R<sup>7</sup> in each case denote a hydrogen atom or a dimethylamino group and

R<sup>8</sup> and R<sup>9</sup> in each case denote the hydrogen atom, a carboxy or ethoxycarbonyl group,

while, unless otherwise stated, all the abovementioned alkyl groups as well as the alkyl groups present within the other groups comprise 1 to 7 carbon atoms and may be straight-chain or branched and the abovementioned aromatic and heteroaromatic groups may additionally be mono-, di- or trisubstituted by fluorine, chlorine or bromine atoms or by cyano or hydroxy groups and the substituents may be identical or different,

or a diastereomer, enantiomer or salt thereof.

Claim 9 (currently amended): A compound of the formula (I) according to claim 1, selected from the group consisting of:

- (1) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (2) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(1'-methyl-[4,4']bipiperidiny-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (3) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-

1,4-dione,

- (4) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[1,4']bipiperidiny1-1'-yl-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (5) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione,
- (6) 2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-ylamino)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (7) [4-(1-{2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,
- (8) methyl (1'-{2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidiny1-1-yl)-acetate,
- (9) (1'-{2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidiny1-1-yl)-acetic acid,
- (10) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-1,4'-bipiperidiny1-1'-yl-2-oxo-ethyl]-amide,
- (11) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-(4-dimethylamino-piperidin-1-yl)-2-oxo-ethyl]-amide,
- (12) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-

- 1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-oxo-2-(4-pyridin-4-yl-piperazin-1-yl)-ethyl]-amide,
- (13) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-(1'-methyl-4,4'-bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,
- (14) benzyl 4-[1-((R)-3-(4-amino-3-chloro-5-trifluoromethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-carboxylate,
- (15) ethyl [1'-((R)-3-(4-amino-3-chloro-5-trifluoromethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-4,4'-bipiperidinyl-1-yl]-acetate,
- (16) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- (17) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- (18) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-(4-azetidin-1-yl-piperidin-1-yl)-2-oxo-ethyl]-amide,
- (19) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,

- (20) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-oxo-2-(4-piperazin-1-yl-piperidin-1-yl)-ethyl]-amide,
- (21) [1'-((R)-3-(4-amino-3-chloro-5-trifluoromethyl-phenyl)-2-{[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-4,4'-bipiperidinyl-1-yl]-acetic acid,
- (22) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-1,4'-bipiperidinyl-1'-yl-2-oxo-ethyl]-amide,
- (23) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (24) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione,
- (25) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-1,4'-bipiperidinyl-1'-yl-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (26) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (27) (S)-2-(4-amino-3-bromo-5-trifluoromethyl-benzyl)-1-(1'-methyl-4,4'-bipiperidinyl-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,



- (28) (S)-2-(4-amino-3-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (29) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-dimethylamino-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (30) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(3-dimethylamino-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (31) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-pyrrolidin-1-yl-piperidin-1-yl)-butan-1,4-dione,
- (32) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(1'-methyl-4,4'-bipiperidiny-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (33) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-cyclopropylmethyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (34) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-morpholine-4-yl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (35) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-perhydro-azepin-1-yl-piperidin-1-yl)-butan-1,4-dione,

- (36) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-perhydro-1,4-diazepin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (37) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-isopropyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (38) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-1,4'-bipiperidiny-1'-yl-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (39) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-perhydro-1,4-diazepin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (40) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[3-(4-methyl-piperazin-1-yl)-azetidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (41) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3-pyrrolidin-1-yl-azetidin-1-yl)-butan-1,4-dione,
- (42) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3-piperidin-1-yl-azetidin-1-yl)-butan-1,4-dione,
- (43) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(3-diethylamino-azetidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

- (44) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-azetidin-1-yl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (45) (S)-1-[4-(4-acetyl-piperazin-1-yl)-piperidin-1-yl]-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (46) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-diethylaminomethyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (47) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-ethyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (48) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-ethyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (49) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3,4,5,6-tetrahydro-2H-4,4'-bipyridinyl-1-yl)-butan-1,4-dione,
- (50) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione,
- (51) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(3-perhydro-azepin-1-yl-azetidin-1-yl)-butan-1,4-dione,

- (52) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-benzyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (53) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-benzyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (55) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-dimethylaminomethyl-phenyl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (56) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-{4-[4-(2,2,2-trifluoroethyl)-piperazin-1-yl]-piperidin-1-yl}-butan-1,4-dione,
- (57) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(1'-methanesulphonyl-4,4'-bipiperidinyl-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (58) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(9-methyl-3,9-diaza-spiro[5.5]undec-3-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (59) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperidin-1-yl-methyl-piperidin-1-yl)-butan-1,4-dione,
- (60) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-dimethylamino-ethyl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (63) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-piperidin-1-yl-butan-1,4-dione,
- (64) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-propyl-piperidin-1-yl)-butan-1,4-dione,
- (65) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-benzyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

- (66) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-diethylamino-ethyl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (67) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(3-aza-spiro[5.5]undec-3-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (68) N-(1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-N-methyl-methanesulphonamide,
- (69) N-(1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-methanesulphonamide,
- (70) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(cyclopentyl-methyl-amino)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (71) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-methyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (72) methyl (1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-acetate,
- (73) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-hydroxy-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (74) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-trifluoromethyl-piperidin-1-yl)-butan-1,4-dione,
- (75) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1,1-dioxo-1,6-isothiazolidin-2-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (76) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-oxo-perhydro-1,3-oxazin-3-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

- (77) methyl 1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidine-4-carboxylate,
- (78) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-cyclohexyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (79) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-tert-butylamino-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (80) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-phenyl-piperidin-1-yl)-butan-1,4-dione,
- (81) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-p-tolyl-piperidin-1-yl)-butan-1,4-dione,
- (82) 8-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-1,3,8-triaza-spiro[4.5]decan-2,4-dione,
- (83) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-oxo-imidazolidin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (84) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-amino-4-methyl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (85) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (87) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2-methyl-imidazol-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (88) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-imidazol-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,

- (89) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-thiazol-2-yl-piperazin-1-yl)-butan-1,4-dione,
- (90) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(2,4-dimethyl-imidazol-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (91) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-(4-imidazol-1-yl-piperidin-1-yl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (92) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-1,2,4-triazol-1-yl-piperidin-1-yl)-butan-1,4-dione,
- (93) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1-aza-bicyclo[2.2.2]oct-3-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (94) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-piperazin-1-yl-butan-1,4-dione,
- (95) 4-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperazin-1-sulphonic acid (1-methyl-piperidin-4-yl)-amide,
- (98) 1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-carboxylic acid,
- (99) (1-{(S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-acetic acid,
- (100) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(8-methyl-8-aza-bicyclo[3.2.1]oct-3-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (101) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperazin-1-yl-piperidin-1-yl)-butan-1,4-dione,

- (102) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(1H-imidazol-4-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (103) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-{4-[4-(2,2,2-trifluoroacetyl)-phenyl]-piperazin-1-yl}-butan-1,4-dione,
- (104) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (105) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(5-oxo-3-phenyl-4,5-dihydro-1,2,4-triazol-1-yl)-piperidin-1-yl]-butan-1,4-dione,
- (106) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2-dihydro-imidazo[4,5-c]quinoline-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (107) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2-dihydro-4H-thieno[3,4-d]pyrimidin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (108) (S)-2-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-1-[4-(5-methyl-2,5-diazabicyclo[2.2.1]hept-2-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (109) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(4-methyl-perhydro-1,4-diazepin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (110) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-perhydro-1,4-diazepin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (111) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (112) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(1-aza-bicyclo[2.2.2]oct-3-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,



- (113) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (114) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-perhydro-azepin-1-yl)-piperidin-1-yl]-butan-1,4-dione,
- (115) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-1-1,4'-bipiperidinyl-1'-yl-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-butan-1,4-dione,
- (116) (S)-2-(4-amino-3,5-bis-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-yl]-1-(4-piperazin-1-yl)-piperidin-1-yl]-butan-1,4-dione,
- (117) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,
- (118) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-{(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,
- (119) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-1,4'-bipiperidinyl-1'-yl-2-oxo-ethyl]-amide,
- (120) 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylic acid-[(R)-1-(4-amino-3,5-bis-trifluoromethyl-benzyl)-2-oxo-2-(4-piperazin-1-yl)-piperidin-1-yl)-ethyl]-amide, and
- (121) (R)-1-(4-amino-3-chloro-5-trifluoromethyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl 4-(2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl)-piperidin-1-carboxylate,
- ~~(122) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[2-[1,4']bipiperidinyl-1'-yl-1-(4-bromo-3-methyl-benzyl)-2-oxo-ethyl]-amide,~~
- ~~(123) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-[1-(4-bromo-3-methyl-benzyl)-2-(1'-methyl-[4,4']bipiperidinyl-1-yl)-2-oxo-ethyl]-amide,~~
- ~~(124) 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-{1-(4-bromo-3-methyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide,~~

- (125) ~~4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid-{1-(4-bromo-3-methyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide,~~
- (126) ~~ethyl-{4-[1-(3-(4-bromo-3-methyl-phenyl)-2-{{4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-acetate,~~
- (127) ~~ethyl-[1'-(3-(4-bromo-3-methyl-phenyl)-2-{{4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-yl]-acetate,~~
- (128) ~~ethyl-{4-[4-(3-(4-bromo-3-methyl-phenyl)-2-{{4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperazin-1-yl]-piperidin-1-yl}-acetate,~~
- (129) ~~{4-[1-(3-(4-bromo-3-methyl-phenyl)-2-{{4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperidin-4-yl]-piperazin-1-yl}-acetic acid,~~
- (130) ~~[1'-(3-(4-bromo-3-methyl-phenyl)-2-{{4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-[4,4']bipiperidinyl-1-yl]-acetic acid,~~
- (131) ~~{4-[4-(3-(4-bromo-3-methyl-phenyl)-2-{{4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carbonyl]-amino}-propionyl)-piperazin-1-yl]-piperidin-1-yl}-acetic acid,~~
- (132) ~~2-(4-bromo-3-methyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,~~
- (133) ~~2-(4-bromo-3-methyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,~~
- (134) ~~1-[1,4']Bipiperidinyl-1'-yl-2-(4-bromo-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,~~
- (135) ~~2-(4-bromo-3-methyl-benzyl)-1-{4-[4-(3-dimethylamino-propyl)-phenyl]-piperazin-1-yl}-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione,~~
- (136) ~~[4-(1-{2-(4-bromo-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid,~~

- (137) ~~methyl (1' {2 (4 bromo 3 methyl benzyl) 4 oxo 4 [4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 yl] butyryl} [4,4']bipiperidinyl 1 yl) acetate,~~
- (138) ~~(1' {2 (4 bromo 3 methyl benzyl) 4 oxo 4 [4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 yl] butyryl} [4,4']bipiperidinyl 1 yl) acetic acid,~~
- (139) ~~4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carboxylic acid {1 (4 chloro 3 methyl benzyl) 2 [4 (4 methyl piperazin 1 yl) piperidin 1 yl] 2 oxo ethyl} amide,~~
- (140) ~~4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carboxylic acid [2 [1,4']bipiperidinyl 1' yl 1 (4 chloro 3 methyl benzyl) 2 oxo ethyl] amide,~~
- (141) ~~4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carboxylic acid {1 (4 chloro 3 methyl benzyl) 2 [4 (1 methyl piperidin 4 yl) piperazin 1 yl] 2 oxo ethyl} amide,~~
- (142) ~~4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carboxylic acid [1 (4 chloro 3 methyl benzyl) 2 (1' methyl [4,4']bipiperidinyl 1 yl) 2 oxo ethyl] amide,~~
- (143) ~~ethyl [1' (3 (4 chloro 3 methyl phenyl) 2 {[4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carbonyl] amino} propionyl) [4,4']bipiperidinyl 1 yl] acetate,~~
- (144) ~~tert butyl {4 [1 (3 (4 chloro 3 methyl phenyl) 2 {[4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carbonyl] amino} propionyl) piperidin 4 yl] piperazin 1 yl} acetate,~~
- (145) ~~4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carboxylic acid {1 (4 chloro 3 methyl benzyl) 2 oxo 2 [1' (2,2,2 trifluoro ethyl) [4,4']bipiperidinyl 1 yl] ethyl} amide,~~
- (146) ~~4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carboxylic acid (1 (4 chloro 3 methyl benzyl) 2 oxo 2 {4 [4 (2,2,2 trifluoro ethyl) piperazin 1 yl] piperidin 1 yl} ethyl) amide,~~
- (147) ~~[1' (3 (4 chloro 3 methyl phenyl) 2 {[4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 carbonyl] amino} propionyl) [4,4']bipiperidinyl 1 yl] acetic acid,~~
- (148) ~~2 (4 chloro 3 methyl benzyl) 1 [4 (4 ethyl piperazin 1 yl) piperidin 1 yl] 4 [4 (2 oxo 1,4 dihydro 2H quinazolin 3 yl) piperidin 1 yl] butan 1,4 dione,~~

- (149) ~~2 (4-chloro-3-methyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (150) ~~1-[1,4']Bipiperidinyl-1'-yl-2-(4-chloro-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (151) ~~2 (4-chloro-3-methyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (152) ~~2 (4-chloro-3-methyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (153) ~~2 (4-chloro-3-methyl-benzyl)-1-[4-(4-methanesulphonyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (154) ~~2 (4-chloro-3-methyl-benzyl)-1-[4-(4-isopropyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (155) ~~ethyl 1-{2 (4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-4-(1-methyl-piperidin-4-yl)-piperazin-2-carboxylate;~~
- (156) ~~ethyl 1-(1-{2 (4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-4-methyl-piperazin-2-carboxylate;~~
- (157) ~~ethyl 4-(1-{2 (4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-1-methyl-piperazin-2-carboxylate;~~
- (158) ~~ethyl 4-{2 (4-chloro-3-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-1-(1-methyl-piperidin-4-yl)-piperazin-2-carboxylate;~~
- (159) ~~2 (4-chloro-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-[1'-(2,2,2-trifluoro-ethyl)-[4,4']bipiperidinyl-1-yl]-butan-1,4-dione;~~
- (160) ~~2 (4-chloro-3-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-{4-[4-(2,2,2-trifluoro-ethyl)-piperazin-1-yl]-piperidin-1-yl}-butan-1,4-dione;~~

- (161) ~~[4 (1-{2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl) piperidin-1-yl] butyryl} piperidin-4-yl) piperazin-1-yl]-acetic acid,~~
- (162) ~~methyl (1' {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl) piperidin-1-yl] butyryl} [4,4']bipiperidinyl-1-yl) acetate,~~
- (163) ~~(1' {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl) piperidin-1-yl] butyryl} [4,4']bipiperidinyl-1-yl) acetic acid,~~
- (164) ~~1 {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl) piperidin-1-yl] butyryl} 4 (1-methyl-piperidin-4-yl)-piperazine-2-carboxylic acid,~~
- (165) ~~1 (1 {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl) piperidin-1-yl] butyryl} piperidin-4-yl) 4-methyl-piperazine-2-carboxylic acid,~~
- (166) ~~4 (1 {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl) piperidin-1-yl] butyryl} piperidin-4-yl) 1-methyl-piperazine-2-carboxylic acid,~~
- (167) ~~2 (4-chloro-3-methyl-benzyl) 1 [4 (1-methyl-piperidin-4-yl) piperazin-1-yl]-4 [4 (2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl) piperidin-1-yl]-butan-1,4-dione,~~
- (168) ~~2 (4-chloro-3-methyl-benzyl) 1 [4 (4-methyl-piperazin-1-yl) piperidin-1-yl]-4 [4 (2-oxo-1,2,4,5-tetrahydro-1,3-benzodiazepin-3-yl) piperidin-1-yl]-butan-1,4-dione,~~
- (169) ~~[4 (1 {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (5-oxo-3-phenyl 4,5-dihydro-1,2,4-triazol-1-yl) piperidin-1-yl] butyryl} piperidin-4-yl) piperazin-1-yl]-acetic acid,~~
- (170) ~~methyl (1' {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (5-oxo-3-phenyl 4,5-dihydro-1,2,4-triazol-1-yl) piperidin-1-yl] butyryl} 4,4' bipiperidinyl-1-yl) acetate,~~
- (171) ~~(1' {2 (4-chloro-3-methyl-benzyl) 4-oxo-4 [4 (5-oxo-3-phenyl 4,5-dihydro-1,2,4-triazol-1-yl) piperidin-1-yl] butyryl} 4,4' bipiperidinyl-1-yl) acetic acid,~~
- (172) ~~2 (3-bromo-4-chloro-5-methyl-benzyl) 1 [4 (4-methyl-piperazin-1-yl) piperidin-1-yl]-4 [4 (2-oxo-1,4-dihydro-2H-quinazolin-3-yl) piperidin-1-yl]-butan-1,4-dione,~~

- (173) ~~2 (3-bromo-4-chloro-5-methyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (174) ~~2 (3-bromo-4-chloro-5-methyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-1-(4-pyridin-4-yl-piperazin-1-yl)-butan-1,4-dione;~~
- (175) ~~2 (3-bromo-4-chloro-5-methyl-benzyl)-1-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (176) ~~[4-(1-{2 (3-bromo-4-chloro-5-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid;~~
- (177) ~~methyl (1'-{2 (3-bromo-4-chloro-5-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate;~~
- (178) ~~(1'-{2 (3-bromo-4-chloro-5-methyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid;~~
- (179) ~~4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid {1-(3-bromo-4-chloro-5-methyl-benzyl)-2-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-2-oxo-ethyl}-amide;~~
- (180) ~~4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid {2-[1,4']bipiperidinyl-1'-yl-1-(3-bromo-4-chloro-5-methyl-benzyl)-2-oxo-ethyl}-amide;~~
- (181) ~~4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid {1-(3-bromo-4-chloro-5-methyl-benzyl)-2-[4-(1-methyl-piperidin-4-yl)-piperazin-1-yl]-2-oxo-ethyl}-amide;~~
- (182) ~~4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid {1-(3-bromo-4-chloro-5-methyl-benzyl)-2-(1'-methyl-[4,4']bipiperidinyl-1-yl)-2-oxo-ethyl}-amide;~~
- (183) ~~4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-carboxylic acid {1-(3-bromo-4-chloro-5-methyl-benzyl)-2-oxo-2-(4-pyridin-4-yl-piperazin-1-yl)-ethyl}-amide;~~

- (184) ~~2-(4-chloro-3-trifluoromethyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (185) ~~2-(4-chloro-3-trifluoromethyl-benzyl)-1-[4-(4-methyl-piperazin-1-yl)-piperidin-1-yl]-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (186) ~~1-[1,4']Bipiperidinyl-1'-yl-2-(4-chloro-3-trifluoromethyl-benzyl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~
- (187) ~~[4-(1-{2-(4-chloro-3-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-piperidin-4-yl)-piperazin-1-yl]-acetic acid;~~
- (188) ~~methyl (1'-{2-(4-chloro-3-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetate;~~
- (189) ~~(1'-{2-(4-chloro-3-trifluoromethyl-benzyl)-4-oxo-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butyryl}-[4,4']bipiperidinyl-1-yl)-acetic acid; and~~
- (190) ~~2-(4-chloro-3-trifluoromethyl-benzyl)-1-(1'-methyl-[4,4']bipiperidinyl-1-yl)-4-[4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)-piperidin-1-yl]-butan-1,4-dione;~~

or an enantiomer, diastereomer or salt thereof.

Claim 10 (currently amended): A physiologically acceptable salt of a compound according to claim 1.

Claim 11 (previously presented): A pharmaceutical composition containing a compound according to claim 1 or a physiologically acceptable salt thereof together with an inert carrier or diluent.

Claim 12 (currently amended): A method for treating or reducing the frequency of headache, migraine headache or cluster headache which comprises the administration, to a host currently suffering from a headache, migraine headache or cluster headache, or a host having an increased risk of suffering from a headache, migraine headache or cluster headache, of an effective amount of a compound according to claim 1 or a physiologically

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acceptable salt thereof.

Claims 13-16 (cancelled)